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TITLE: Synthesis of substituted 2-aminopyrrole analogs of

lidocaine. II

AUTHOR(S): Johnson, Ronald W.; Keenan, Thomas H.; Kosh, Joseph

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GT

RN

- The synthesis and local anesthetic and antiarrhythmic properties of 8 substituted 2-diethylaminoacetamido-3-carbamyl-4-methylpyrroles I (R = Me, Et, CH2CHMe2, Ph, CH2Ph, CH2C6H4OH-p, CH2C6H4Cl-p) are described. Nitriles II were heated with 85% phosphoric acid at 120-140° to give the corresponding amides III, which were treated with Et2NH to give I. Three compds. showed significant local anesthetic activity by the guinea pig wheal test, and 4 showed antiarrhythmic activity against chloroform-induced ventricular arrhythmias in mice.
- 71865-51-5P 72406-75-8P 72406-76-9P 72406-77-0P 72406-78-1P 72406-79-2P 72406-80-5P 72429-23-3P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and antiarrhythmic and local anesthetic activity of) 71865-51-5 CAPLUS

1H-Pyrrole-3-carboxamide, 2-[[2-(diethylamino)acetyl]amino]-4-methyl-5-(phenylmethyl)-, hydrochloride (1:1) (CA INDEX NAME)

● HC1

- RN 72406-75-8 CAPLUS
- $1 \\ H-Pyrrole-3-carboxamide, \ 2-[[2-(diethylamino)acetyl]amino]-4, \\ 5-dimethyl-, \\ hydrochloride \ (1:1) \quad (CA \ INDEX \ NAME)$ CN

HC1

- 72406-76-9 CAPLUS RN
- CN 1H-Pyrrole-3-carboxamide, 2-[[2-(diethylamino)acetyl]amino]-5-ethyl-4methyl-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c} \bullet \\ \text{Et}_2\text{N-CH}_2-\text{C-NH} \\ \bullet \\ \text{H}_2\text{N-C} \end{array} \begin{array}{c} \bullet \\ \bullet \\ \text{Me} \end{array}$$

● HC1

- RN 72406-77-0 CAPLUS
- CN 1H-Pyrrole-3-carboxamide, 2-[[2-(diethylamino)acetyl]amino]-4-methyl-5-[2-(methylthio)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c} \bullet \\ \text{Et}_2\text{N-CH}_2\text{-C-NH} \\ \text{H}_2\text{N-C} \\ \text{Me} \end{array}$$

HC1

- RN 72406-78-1 CAPLUS
- CN 1H-Pyrrole-3-carboxamide, 2-[[2-(diethylamino)acetyl]amino]-4-methyl-5phenyl-, hydrochloride (1:1) (CA INDEX NAME)

HC1

- RN 72406-79-2 CAPLUS
- CN 1H-Pyrrole-3-carboxamide, 2-[[2-(diethylamino)acetyl]amino]-5-[(4hydroxyphenyl)methyl]-4-methyl-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} & & & H \\ \text{Et}_2 \text{N} - \text{CH}_2 - \text{C} - \text{NH} & N \\ & & N \\ & & H_2 \text{N} - \text{C} \\ & & O \end{array} \quad \text{OH}$$

HC1

- RN 72406-80-5 CAPLUS
- 1H-Pyrrole-3-carboxamide, 5-[(4-chlorophenyl)methyl]-2-[[2-CN (diethylamino)acetyl]amino]-4-methyl-, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c} O \\ Et_2N-CH_2-C-NH \\ H_2N-C \\ O \end{array} \qquad \begin{array}{c} H \\ N \\ CH_2 \\ CH_2 \\ CI \end{array}$$

HC1

- RN 72429-23-3 CAPLUS
- CN 1H-Pyrrole-3-carboxamide, 2-[[2-(diethylamino)acetyl]amino]-4-methyl-5-(2methylpropyl)-, hydrochloride (1:1) (CA INDEX NAME)

HC1

Page 5

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 72406-67-8 CAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[[2-(diethylamino)acetyl]amino]-4,5-dimethyl(CA INDEX NAME)

RN 72406-68-9 CAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[[2-(diethylamino)acetyl]amino]-5-ethyl-4-methyl- (CA INDEX NAME)

RN 72406-69-0 CAPLUS

CN 1H-Pyrrole-3-carboxamide, 2-[[2-(diethylamino)acetyl]amino]-4-methyl-5-(2-methylpropyl)- (CA INDEX NAME)

- RN 72406-70-3 CAPLUS
- CN 1H-Pyrrole-3-carboxamide, 2-[[2-(diethylamino)acetyl]amino]-4-methyl-5-[2-(methylthio)ethyl]- (CA INDEX NAME)

$$\begin{array}{c} \bullet \\ \text{Et}_2\text{N-CH}_2\text{-C-NH} \\ \text{H}_2\text{N-C} \\ \text{Me} \end{array}$$

- RN 72406-71-4 CAPLUS
- CN 1H-Pyrrole-3-carboxamide, 2-[[2-(diethylamino)acetyl]amino]-4-methyl-5phenyl- (CA INDEX NAME)

- RN 72406-72-5 CAPLUS
- CN 1H-Pyrrole-3-carboxamide, 2-[[2-(diethylamino)acetyl]amino]-4-methyl-5-(phenylmethyl) - (CA INDEX NAME)

- 72406-73-6 CAPLUS RN
- 1H-Pyrrole-3-carboxamide, 2-[[2-(diethylamino)acetyl]amino]-5-[(4-CN hydroxyphenyl)methyl]-4-methyl- (CA INDEX NAME)

- 72406-74-7 CAPLUS
- 1H-Pyrrole-3-carboxamide, 5-[(4-chlorophenyl)methyl]-2-[[2-CN (diethylamino)acetyl]amino]-4-methyl- (CA INDEX NAME)